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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:00:47 ON 11 MAR 2008

=> file caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

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L1             2 US20040138301/PN
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=> d rn

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E10                    111-42-2/BI
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E191 1 98548-92-6/BI

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71 10537-86-7/BI
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L5             15 L3 NOT 7605-28-9/RN

=> focus
PROCESSING COMPLETED FOR L5
L6             15 FOCUS L5 1-

=> d ibib abs hitstr 1-15

L6   ANSWER 1 OF 15  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         1997:134866  CAPLUS
DOCUMENT NUMBER:          126:139910
TITLE:                    Tyrphostin-like compounds for the treatment of cell
                          proliferative disorders or cell differentiation
                          disorders
INVENTOR(S):              Tang, Feng Cho; Sun, Li; Nematalla, Asaad S.; McMahon,
                          Gerald
PATENT ASSIGNEE(S):       Sugen, Inc., USA
SOURCE:                   PCT Int. Appl., 112 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE       APPLICATION NO.          DATE
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     WO 9640629            A1    19961219   WO 1996-US10213          19960604
         W:  AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
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PRIORITY APPLN. INFO.: US 1995-480275 A 19950607
WO 1996-US10213 W 19960604
US 1997-957420 A1 19971024

OTHER SOURCE(S): MARPAT 126:139910

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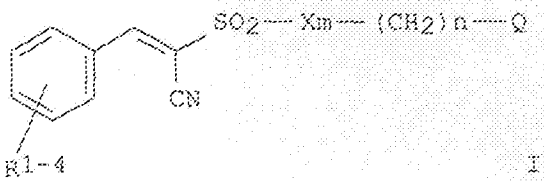


I

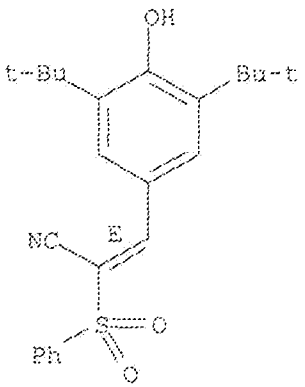
AB The present invention relates to compds. I (X = NH, -C(CN)=C, CH2CN; m = 0, 1; n = 0-3; Q = aryl, heteroaryl; R1-4 = halo, trihalo, Me, alkyl, alkosy, hydroxy, H, nitro, cyano, amide, sulfonyl, sulfonamide, carboxy, carboxamide, amino), capable of modulating tyrosine signal transduction to prevent or treat cell proliferative disorders or cell differentiation disorders associated with particular tyrosine kinases by inhibiting one or more abnormal tyrosine kinase activities. (E)-3-(3,5-diisopropyl-4-hydroxyphenyl)-2-[(pyrid-2-yl)sulfonyl]acrylonitrile was prepared from a reaction mixture of 450 mg of 3,5-diisopropyl-4-hydroxylbenzaldehyde and 400 mg of 2-pyridinesulfonylacetonitrile in 10 mL ethanol. Examples were presented which illustrates the ability of the exemplary compds. to inhibit receptor tyrosine kinases, such as HER2 and/or EGFR.

IT **170449-05-5P 170449-06-6P 186582-17-2P**
186582-23-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tyrosine kinase inhibition by tyrphostin-like sulfonyl acetonitrile compds. for treatment of cell proliferative or cell differentiation disorders)

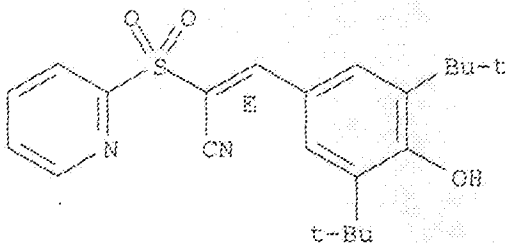
RN 170449-05-5 CAPLUS

CN 2-Propenenitrile, 3-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-(phenylsulfonyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

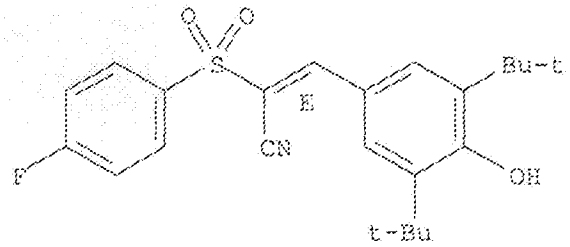


Example 8



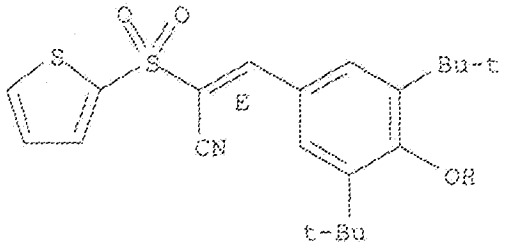
RN 186582-17-2 CAPLUS
CN 2-Propenenitrile, 3-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[(4-fluorophenyl)sulfonyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 186582-23-0 CAPLUS
CN 2-Propenenitrile, 3-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-(2-thienylsulfonyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



IT **170449-34-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(tyrosine kinase inhibition by tyrphostin-like sulfonyl acetonitrile compds. for treatment of cell proliferative or cell differentiation disorders)
RN 170449-34-0 CAPLUS
CN Acetonitrile, (2-pyridinylsulfonyl)- (9CI) (CA INDEX NAME)

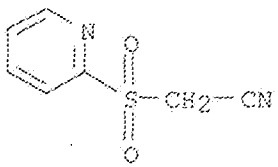
L6 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:534888 CAPLUS
DOCUMENT NUMBER: 129:156926
TITLE: Methods and compositions using receptor tyrosine kinase inhibitors for inhibiting cell proliferative disorders, and inhibitor preparation
INVENTOR(S): Chen, Hui; Gazit, Aviv; Hirth, Klaus Peter; Mann, Elaina; Shawver, Laura K.; Tsai, Jianming; Tang, Peng Cho
PATENT ASSIGNEE(S): Sugen, Inc., USA; Yissum Research & Development Company of the Hebrew University of Jerusalem
SOURCE: U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 207,933, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5789427	A	19980804	US 1995-399967	19950307
US 5773476	A	19980630	US 1995-486775	19950607
US 6596878	B2	20030722	US 2001-953933	20010918
US 2004242684	A1	20041202	US 2003-602617	20030625
US 7217737	B2	20070515		

PRIORITY APPLN. INFO.:

US 1994-207933	B2 19940307
US 1995-399967	A1 19950307
US 1995-486775	A1 19950607
US 1998-70318	B1 19980429
US 2000-722149	B1 20001122
US 2001-953933	A3 20010918

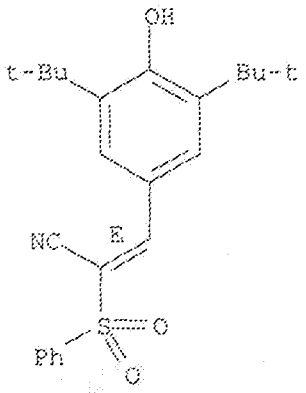
OTHER SOURCE(S): MARPAT 129:156926
AB The invention concerns compds. and their use to inhibit the activity of a receptor tyrosine kinase. The invention is preferably used to treat cell proliferative disorders, e.g. cancers characterized by over-activity or inappropriate activity HER2 or EGFR.
IT **170449-34-0**, 2-Pyridinesulfonylacetonitrile
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; receptor tyrosine kinase inhibitors, and preparation thereof, for inhibiting cell proliferative disorders)
RN 170449-34-0 CAPLUS
CN Acetonitrile, (2-pyridinylsulfonyl)- (9CI) (CA INDEX NAME)



IT **170449-05-5 170449-06-6 211299-44-4**

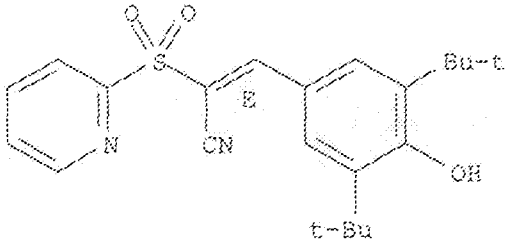
CN 2-Propenenitrile, 3-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-(phenylsulfonyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



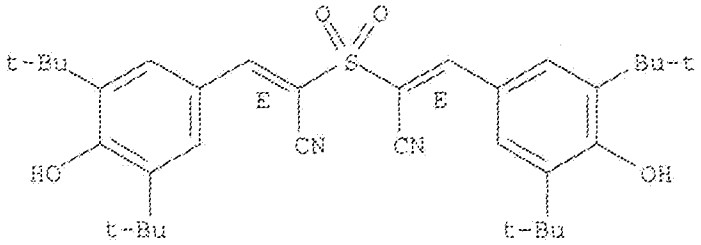
RN 170449-06-6 CAPLUS
CN 2-Propenenitrile, 3-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-(2-pyridinylsulfonyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 211299-44-4 CAPLUS
CN 2-Propenenitrile, 2,2'-sulfonylbis[3-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-, (2E,2'E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 90 THERE ARE 90 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

INVENTOR(S): Kleinman, Edward F.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 10 pp., Cont. of U.S. Ser. No. 489,689, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002013467	A1	20020131	US 2001-918099	20010730
US 2002147340	A1	20021010	US 2002-95218	20020311
US 6555538	B2	20030429		
US 2003203911	A1	20031030	US 2003-424451	20030428
PRIORITY APPLN. INFO.:			US 1999-117875P	P 19990129
			US 2000-489689	B1 20000124
			US 2001-918099	A1 20010730
			US 2002-95218	A3 20020311

OTHER SOURCE(S): MARPAT 136:151179
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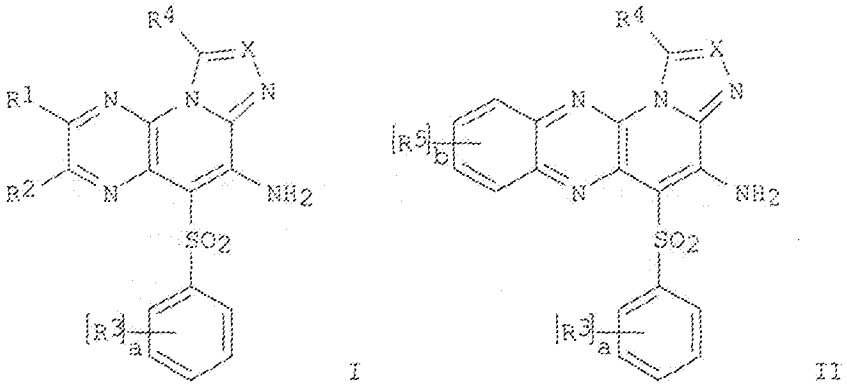
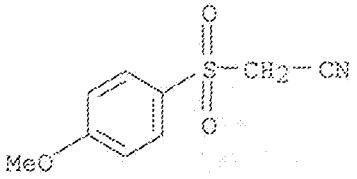


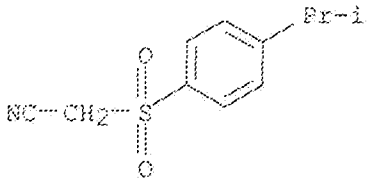
I II

AB The title compds. [I; a = 1-4; X = CH, N; R1, R2 = H, alkyl, CN, etc.; R3, R4 = H, halo, alkyl, etc.; or R1 and R2 may be taken together to form II (b = 1-4; R5 = H, halo, alkyl)], which are selective inhibitors of PDE4 and the production of TNF (no data), and as such are useful in the treatment of respiratory, allergic, rheumatoid, body weight regulation, inflammatory and central nervous system disorders such as asthma, chronic obstructive pulmonary disease, adult respiratory diseases syndrome, shock, fibrosis, pulmonary hypersensitivity, allergic rhinitis, atopic dermatitis, psoriasis, weight control, rheumatoid arthritis, cachexia, Crohn's disease, ulcerative colitis, arthritic conditions and other inflammatory diseases, depression, multi-infarct dementia and AIDS, were prepared Thus, reacting (4-methylbenzenesulfonyl)acetonitrile with 2,3-dichloropyrazine in the presence of K2CO3 in DMF (20%) followed by treatment of the resulting 2-pyrazineacetonitrile with 1-methylimidazole in DMF (37%) afforded I [X = CH; R1, R2 = H; R3 = 4-Me; R4 = H; a = 1].

IT **132276-87-0P 207853-59-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT



RN 207853-59-6 CAPLUS
CN Acetonitrile, [[4-(1-methylethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

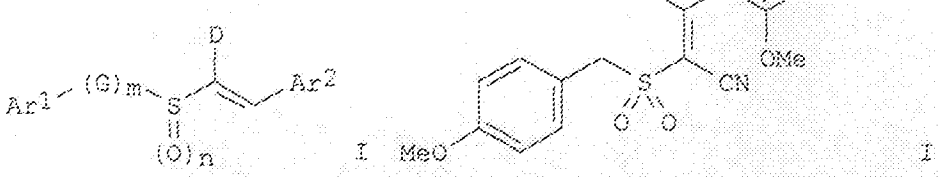


L6 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:156586 CAPLUS
DOCUMENT NUMBER: 148:238882
TITLE: Aryl vinyl sulfides, sulfones, sulfoxides and sulfonamides, derivatives thereof as antiproliferative agents and their preparation, pharmaceutical compositions and use in the treatment of proliferative diseases
INVENTOR(S): Reddy, E. Premkumar; Reddy, M. V. Ramana
PATENT ASSIGNEE(S): Temple University - Of the Commonwealth System of Higher Education, USA
SOURCE: PCT Int. Appl., 168pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008016682	A2	20080207	WO 2007-US17266	20070801

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-835146P P 20060802
GI

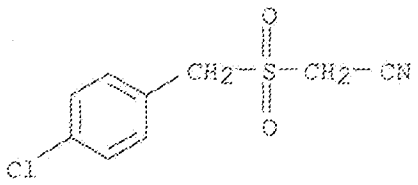


AB Compds. useful as antiproliferative agents, including, for example, anticancer agents, according to formula I, salts, antibody conjugates, pharmaceutical compns., methods of treatment, synthetic processes, and intermediates useful in such processes are provided. Compds. of formula I wherein Ar is (un)substituted phenyl; Ar2 is (un)substituted (hetero)aryl; D is CN, CONH2 and derivs., and NO2; G is C(R1)2 and NR1; R1 is H and C1-6 alkyl; m is 0 and 1, provided that if D is CN then m is 1; n is 0, 1, and 2, provided that if G is NR1 then n is 2; and salts thereof, are claimed. Example compound Ii was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their antiproliferative activity. From the assay, it was determined that compound II exhibited IC50 value of 25 μM against D0145.

IT **175137-57-2P**
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prophetic intermediate; preparation of aryl vinyl sulfides, sulfones, sulfoxides and sulfonamides and their derivs. as antiproliferative agents useful in the treatment of proliferative diseases)

RN 175137-57-2 CAPLUS

CN Acetonitrile, 2-[[(4-chlorophenyl)methyl]sulfonyl]- (CA INDEX NAME)



L6 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:884558 CAPLUS

DOCUMENT NUMBER: 145:293054

TITLE: Preparation of imidazo[1,2-a]pyridines as VEGFR-2 inhibitors for treating neoplasm

INVENTOR(S): Barda, David Anthony; Burkholder, Timothy Paul; Clayton, Joshua Ryan; Hao, Yan; Heath, Perry Clark; Henry, James Robert; Knobeloch, John Monte; Mendel, David; Mclean, Johnathan Alexander; Remick, David Michael; Rempala, Mark Edward; Wang, Zhao-Qing; Yip, Yvonne Yee Mai; Zhong, Boyu

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 153pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
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VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

AU 2006216710	A1	20060831	AU 2006-216710			20060223
CA 2599124	A1	20060831	CA 2006-2599124			20060223
IN 2007KN02929	A	20070914	IN 2007-KN2929			20070810
KR 2007099029	A	20071008	KR 2007-719338			20070823
MX 200710326	A	20071016	MX 2007-10326			20070823
CN 101128461	A	20080220	CN 2006-80006004			20070824
NO 2007004666	A	20071109	NO 2007-4666			20070913
PRIORITY APPLN. INFO.:			US 2005-655981P	P		20050224
			WO 2006-US6283	W		20060223

OTHER SOURCE(S): MARPAT 145:293054
GI

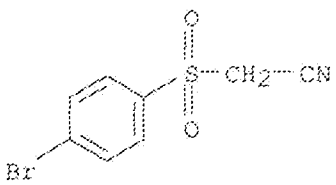
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is related to imidazopyridines I [R1 = (un)substituted 2-pyridonyl, Ph, thiophenl, pyrazolyl, etc.; R2, R3 = H, alkyl optionally substituted with OH; R4 = (un)substituted thiazolyl, pyridinyl, Ph; R5 = CONHR6, OC(:O)NHR6, NHCOCH2R6, NHCONHR6, C(:S)NHR6; ; X = (CH2)n; n = 0-4 for R5 = OC(:O)NHR6, NHCOCH2R6, NHCONHR6; n = 1-4 for R5 = CONHR6, C(:S)NHR6; R6 = (un)substituted tetrahydrobenzothiazolyl, Ph, pyridinyl, isoxazolyl, etc.], and their pharmaceutically acceptable salts, that are inhibitors of VEGFR-2 and methods of using them. Thus, reacting [4-[7-(4-methylsulfonylphenyl)imidazo[1,2-a]pyridin-3-yl]benzyl]amine (preparation given) with 3-trifluoromethylphenyl isocyanate gave imidazopyridine II in 66% yield. III demonstrated in vitro inhibition of against cell-based KDR autophosphorylation (IC50 = 42 nM). III displayed antitumor activity in PC-3 prostate tumor xenografts. I are useful as angiogenesis inhibitors and antitumor agents.

IT **126891-45-0**, (4-Bromophenylsulfonyl)acetonitrile
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of imidazo[1,2-a]pyridines as VEGFR-2 inhibitors for treating neoplasm)

RN 126891-45-0 CAPLUS

CN Acetonitrile, 2-[(4-bromophenyl)sulfonyl]- (CA INDEX NAME)



DOCUMENT NUMBER: 143:460024
TITLE: Indole derivatives as chemical uncouplers, their preparation, pharmaceutical compositions, and use in treatment of obesity and related conditions
INVENTOR(S): Olesen, Preben Houlberg; Hohlweg, Rolf
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105785	A2	20051110	WO 2005-EP52017	20050503
WO 2005105785	A3	20060119		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1758856	A2	20070307	EP 2005-743128	20050503
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007536344	T	20071213	JP 2007-512190	20050503

PRIORITY APPLN. INFO.: DK 2004-708 A 20040504
WO 2005-EP52017 W 20050503

OTHER SOURCE(S): CASREACT 143:460024; MARPAT 143:460024
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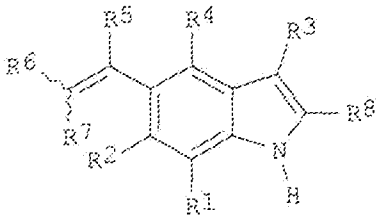

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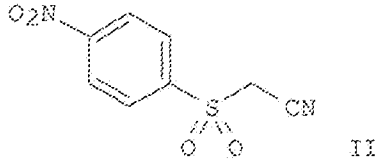

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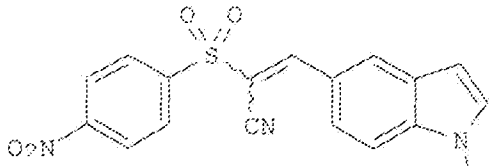
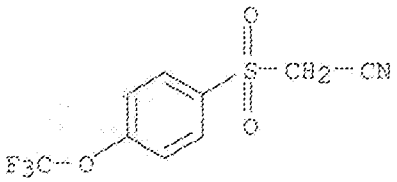


alkylamino, (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, etc.; R5 is H, halo, nitro, cyano, alkyl, alkenyl, alkynyl, alkoxy, or alkylamino; R6 is 4-pyridinium radical, alkyl, alkenyl, alkynyl, carbonyloxy, carbonylamino, etc.; R7 is H or cyano, provided that if R7 is H, then R6 is a 4-pyridinium radical, or R6 and R7, together with the carbon atom to which they are attached, may form a 4-(dicyanomethylene)dihydrophenyl moiety; and R8 is selected from H, halo, nitro, cyano, (un)substituted haloalkyl, (un)substituted alkoxy, (un)substituted alkylamino, (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, etc. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I, as well as to the use of the compns. in the treatment of obesity and related conditions. Chloroacetonitrile was substituted with 4-nitrothiophenol followed by oxidation to give sulfonylacetonitrile II. Knoevenagel condensation of II with 5-formylindole resulted in the formation of indolylacrylonitrile III. The compds. of the invention act as chemical uncouplers (no data) useful in the treatment of obesity and related conditions.

IT **217186-16-8**, [[4-(Trifluoromethoxy)benzene]sulfonyl]acetonitrile
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of indole derivs. as chemical uncouplers for treatment of obesity and related conditions)

RN 217186-16-8 CAPLUS

CN Acetonitrile, [[4-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:490349 CAPLUS
DOCUMENT NUMBER: 143:43677
TITLE: Sulfinyl- and sulfonylphenols as chemical uncouplers, their preparation and use for the treatment of obesity
INVENTOR(S): Olesen, Preben Houlberg
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051900	A1	20050609	WO 2004-DK302	20040504

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

SN, TD, TG

EP 1689707	A1	20060816	EP 2004-730959		20040504
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
JP 2007512262	T	20070517	JP 2006-540162		20040504
US 2007004799	A1	20070104	US 2006-439857		20060524
PRIORITY APPLN. INFO.:			DK 2003-1736	A	20031125
			US 2003-526041P	P	20031201
			WO 2004-DK302	W	20040504

OTHER SOURCE(S): CASREACT 143:43677; MARPAT 143:43677
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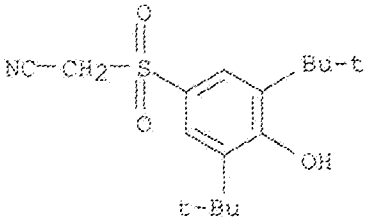
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a group of novel sulfinyl- and sulfonylphenols I, which are potent chemical uncouplers. In compds. I, R1 and R2 are independently selected from H, nitro, cyano, halo, alkyl, alkenyl, etc.; R3 is substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, or haloalkoxy; Y is S(O) or S(O)2; and X is a bond or O, including pharmaceutically acceptable salts, solvates and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. containing one or more compds., including I, as active ingredients, as well as to the use of the compns. for the treatment of obesity, prevention of weight gain, or the maintenance of weight loss. Alkylation of 2,6-di-tert-butyl-4-mercaptophenol with 4-chlorobenzyl chloride resulted in the formation of sulfide II. II was oxidized with H2O2 to give sulfonylphenol III, or with 3-chloroperoxybenzoic acid to give the corresponding sulfinylphenol. The compds. of the invention have been found to be potent chemical uncouplers (no data).

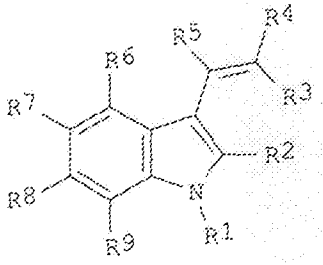
IT **797036-11-4P**, (3,5-Di-tert-butyl-4-hydroxybenzenesulfonyl)acetonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of sulfinyl- and sulfonylphenols for the treatment of obesity)

RN 797036-11-4 CAPLUS

CN Acetonitrile, [[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



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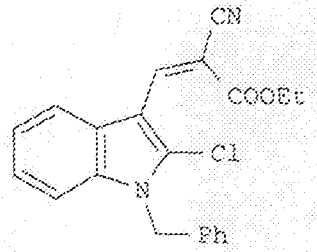


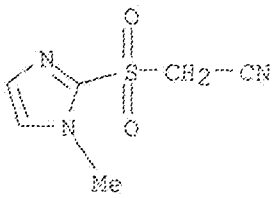
II

AB The title compds. [I; R1 = C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; R2 = halo, C1-6 alkyl, PhCH2, etc.; R3, R4 = H, CN, COOPh, etc.; R5 = H, C1-6 alkyl; R6-R9 = H, NO2, NH2, etc.], useful in treating epilepsy, senile dementia, Parkinson's disease, Huntington's Chorea, pain or deficiency of mental and motoric performance seen after conditions of brain ischemia, were prepared and formulated. Thus, reaction of 1-benzyl-2-chloroindole-3-carbaldehyde with Et 2-cyanoacetate in the presence of Et3N in EtOH afforded II which showed IC50 of 2.2 μM against PI-hydrolysis in BHK 570 cells expressing mGluR1α receptors.

IT **175137-63-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indolyl compds. for treatment of diseases in the central nervous system related to the metabotropic glutamate receptor system)

RN 175137-63-0 CAPLUS

CN Acetonitrile, [(1-methyl-1H-imidazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1946:16196 CAPLUS
DOCUMENT NUMBER: 40:16196
ORIGINAL REFERENCE NO.: 40:3126a-b
TITLE: Chloronitroalkanes
INVENTOR(S): Tindall, John B.
PATENT ASSIGNEE(S): Commercial Solvents Corp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2365981		19441226	US 1941-423765	19411220

L6 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1946:9981 CAPLUS
DOCUMENT NUMBER: 40:9981
ORIGINAL REFERENCE NO.: 40:1807a-h
TITLE: Chemotherapeutic agents of the sulfone type. I. Sulfones containing a p-aminophenyl group
AUTHOR(S): Walker, James
CORPORATE SOURCE: Natl. Inst. for Med. Research, London
SOURCE: Journal of the Chemical Society (1945) 630-3
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 40:9981

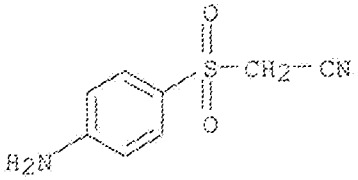
AB Compds. derived from p-H2NC6H4SO2Me by introduction of electroneg. substituents into the Me group with the object of increasing acidity or those which had acidic properties because of a phenolic HO group in close proximity to the SO2 group have been compared with p-H2NC6H4SO2NH2 for antibacterial activity. p-AcNHC6H4SO2Na (I) forms a hydrate with between 1.5 and 2 mols. of H2O; in this work 2 mols. were allowed in the amount of salt used. ClCH2CO2H (14.2 g.) and 37.2 g. I in NaOH, evaporated to dryness and the acid liberated with HCl, give 32 g. of the Ac derivative, m. 216-17°, of p-aminophenylsulfonylacetic acid (II), m. 164-5° (decomposition); the Ac derivative was hydrolyzed with 12% HCl by refluxing 0.5 h.; 3.55 g. yielded 2.3 g. of II. I (15.4 g.) and 4.8 cc. ClCH2Ac in 100 cc. 90% EtOH, refluxed 7 h., give 11.4 g. of the Ac derivative, with 1/3 mol. H2O, m. 91-2°, of p-aminophenylsulfonylacetone (III), m. 131-2° (7.2 g. from hydrolysis of 11.3 g. of Ac derivative). I (35 g.) and 13.3 g. of ClCH2CN in 70 cc. 75% aqueous EtOH, refluxed 17 h., give 31 g. of the Ac derivative, m. 263-4° (from 20% aqueous C5H5N), of p-aminophenylsulfonylacetonitrile (IV), m. 122-3° (17 g. from 23.8 g. Ac derivative on refluxing with 250 cc. 3 N HCl and 50 cc. EtOH for 40 min.). IV (8 g.) in 40 cc. dioxane and 10 cc. EtOH, saturated with dry HCl at 0° and allowed to stand at 0° for 14 days, the solvent and HCl removed in vacuo at room temperature, and the residue allowed to stand with 100 cc. 10% EtOH-NH3 at 37° for 5 days, gives p-aminophenylsulfonylacetamidine-HCl (V), decomps. about 265°. I (10.28 g.) and 6.9 g. Et2NC2H4Cl.HCl in 60 cc. H2O, refluxed 5 h., give about 5.6 g. of the Ac derivative, with 1 mol. of H2O, m. 94-6°, of 2-diethylamino-1-(p-aminophenylsulfonyl)ethane-HCl (VI), m. 186°. HO(CH2)2Cl (43.6 g.), 95 cc. Et2NH, and 3 cc. MeOH, kept at room temperature for 48 h. and refluxed 16 h., give 48.3 g. of Et2N(CH2)3OH, b28 85-8°; this yields 47.8 g. of Et2N(CH2)3Cl (VII), b15 65-70°, VII (10 g.) (neutralized with N HCl) and 18 g. I, refluxed 12 h. and the sirup hydrolyzed with 12% HCl, give 11.6 g. of 3-diethylamino-1-(p-aminophenylsulfonyl)propane, analyzed as the sulfate, m. 200°. p-C6H4O2 (4.32 g.) in 100 cc. hot H2O, treated with a warm solution of 10.3 g. I in 70 cc. H2O containing 41 cc. N HCl, gives 12.1 g. of the Ac derivative, m. 273°, of 2-(p-aminophenylsulfonyl)hydroquinone (VIII), m. 176-7°. Toluquinone (4.1 g.) and the acid from 8.6 g. I in H2O give 9.74 g. of the Ac derivative, m. 237-9°, of 5(?)-(p-

the solubility in H2O of the NH2 compds. rapidly diminishes. p-
p-MeC6H4SO2H give a quant. yield of 2-(p-tolylsulfonyl)hydroquinone, m. 211-12°. The following pKa values were determined: II 2.8, III 10.2, IV 10.6, VIII 8.4. The in vitro antibacterial activities of the NH2 compds. are reported. The activity of p-H2NC6H4SO2Me is comparable with that of p-H2NC6H4SO2NH2 and none of II-VI showed greater activity, although 4 of these 6 were somewhat more active than p-H2NC6H4SO2NH2 against hemolytic streptococci. The products from quinones showed high in vitro activity against a variety of pathogenic bacteria and, in vivo, local application in mice disclosed marked activity against infection with an organism of the gas gangrene group.

IT **797036-00-1P**, Acetonitrile, sulfanilyl-
RL: PREP (Preparation)
(preparation of)

RN 797036-00-1 CAPLUS

CN Acetonitrile, [(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1946:2062 CAPLUS
DOCUMENT NUMBER: 40:2062
ORIGINAL REFERENCE NO.: 40:321i,322a-i,323a-d
TITLE: Synthesis of aminosulfones
AUTHOR(S): Goldberg, Alan A.; Besly, Donald M.
CORPORATE SOURCE: Ward, Blenkinsop & Co. Ltd., Bradford-on-Avon, Wilts, UK
SOURCE: Journal of the Chemical Society (1945) 566-71
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 40:2062

AB A possible synthesis of (p-aminophenylsulfonyl)alkanecarboxylic acids (which would be expected to be less toxic than (4-H2NC6H4)2SO2) consists in the condensation of p-AcNHC6H4SO2Cl with the Na derivative of AcCH2CO2Et or CH2(CO2Et)2, followed by acid hydrolysis of the product; however, the hydrolysis effects rupture of the C-S bond, with the formation of p-H2NC6H4SO3H. Anhydrous p-AcNHC6H4SO2Na (44.2 g.), 24.4 g. ClCH2CO2Et, and a trace of Cu in 300 cc. xylene, refluxed 5 h., give 40 g. of the Ac derivative (I), m. 122-4°, of Et (p-aminophenylsulfonyl)acetate (II), m. 112-14°; the HCl salt of II results in 18.5-g. yield from 20 g. I in 200 cc. saturated anhydrous EtOH-HCl on refluxing 1.5 h.; II was prepared from the aqueous solution of the salt by addition of NaHCO3. I (57 g.) in 320 cc. 5 N HCl, refluxed 75 min., give 41 g. of the HCl salt, m. 214-16° (decomposition), of (p-aminophenylsulfonyl)acetic acid (III), m. 162-4°; the amide, m. 194-6°, is formed by shaking II and concentrated NH4OH for 3 h. o-AcNHC6H4SO2H (199 g.), 95 g. ClCH2CO2H in 500 cc. H2O and 400 cc. 5